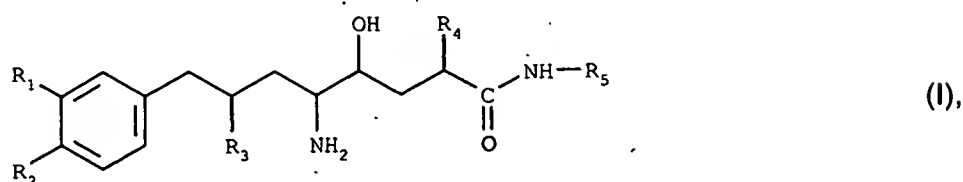


What is claimed is:

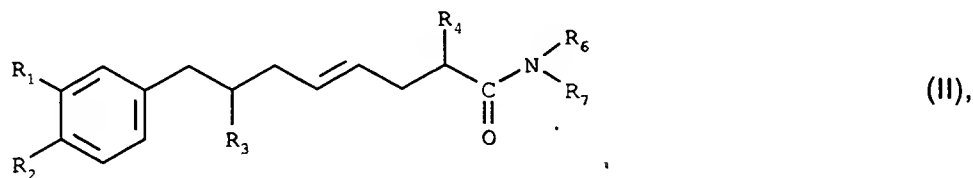
1. Process for preparation of compounds of formula I,



wherein

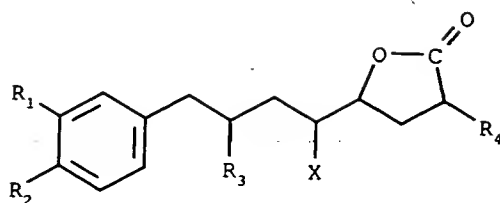
R₁ and R₂ are independently of one another H, C₁-C₆alkyl, C₁-C₆halogenalkyl, C₁-C₆alkoxy, C₁-C₆alkoxy-C₁-C₆alkyl, or C₁-C₆alkoxy-C₁-C₆alkyloxy, R₃ is C₁-C₆alkyl, R₄ is C₁-C₆alkyl, and R₅ is C₁-C₆alkyl, C₁-C₆hydroxyalkyl, C₁-C₆alkoxy-C₁-C₆alkyl, C₁-C₆alkanoyloxy-C₁-C₆alkyl, C₁-C₆aminoalkyl, C₁-C₆alkylamino-C₁-C₆alkyl, C₁-C₆dialkylamino-C₁-C₆alkyl, C₁-C₆alkanoylamido-C₁-C₆alkyl, HO(O)C-C₁-C₆alkyl, C₁-C₆alkyl-O-(O)C-C₁-C₆alkyl, H₂N-C(O)-C₁-C₆alkyl, C₁-C₆alkyl-HN-C(O)-C₁-C₆alkyl or (C₁-C₆alkyl)₂N-C(O)-C₁-C₆alkyl, comprising

a) the reaction of a compound of formula II



wherein

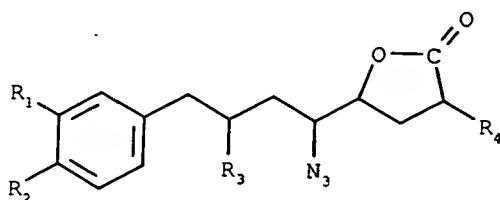
R₆ is C₁-C₆alkyl, R₇ is C₁-C₆alkyl or C₁-C₆alkoxy, or R₆ and R₇ together are tetramethylene, pentamethylene, 3-oxa-1,5-pentylene or -CH₂CH₂O-C(O)- substituted if necessary with C₁-C₄alkyl, phenyl or benzyl, with a halogenation agent in the presence of water, and if necessary, an acid to form a compound of formula III,



(III),

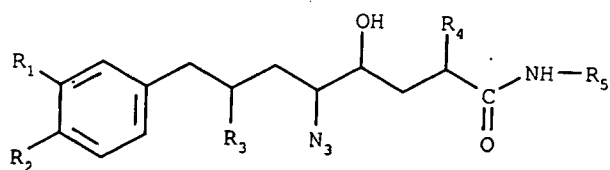
wherein X is Cl, Br or I,

b) reaction of the compound of formula III with an azidation agent to form a compound of formula IV,



(IV),

c) thereafter reaction of the compound of formula IV with an amine of formula R_5-NH_2 to form a compound of formula V,



(V),

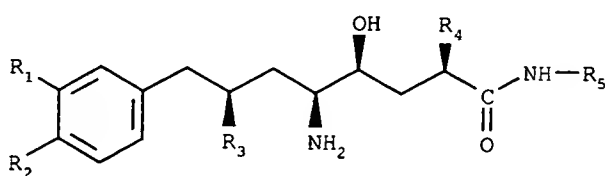
and

d) for preparation of a compound of formula I, reduction of the azide group of the compound of formula V to form the amine group and then isolation of the compounds of formula I, if necessary with the addition of a salt-forming acid.

2. A process according to claim 1 comprising an embodiment wherein R_1 is C_1 - C_4 alkoxy or C_1 - C_4 alkoxy- C_1 - C_4 alkyloxy, R_2 is C_1 - C_4 alkoxy, R_3 is C_1 - C_4 alkyl, R_4 is C_1 - C_4 alkyl and R_5 is $H_2NC(O)$ - C_1 - C_6 alkyl which if necessary is N-monosubstituted or N-di- C_1 - C_4 alkyl substituted.

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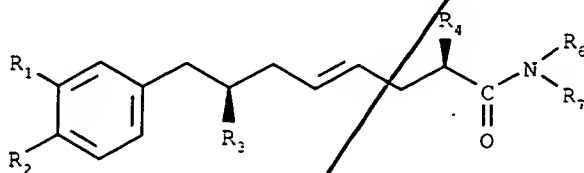
3. A process according to claim 2 comprising an embodiment wherein R_1 is 1-methoxyprop-3-yloxy and R_2 is methoxy.
4. A process according to claim 2 comprising an embodiment wherein R_3 and R_4 are in each case isopropyl.
5. A process according to claim 2 comprising an embodiment wherein R_5 is $H_2NC(O)-C_1-C_6$ alkyl.
6. A process according to claim 1 comprising an embodiment wherein R_1 is methoxy- C_2-C_4 alkyloxy, R_2 is methoxy or ethoxy, R_3 is C_2-C_4 alkyl, R_4 is C_2-C_4 alkyl and R_5 is $H_2NC(O)-C_1-C_6$ alkyl.
7. A process according to claim 1 comprising an embodiment wherein R_1 is 3-methoxy-prop-3-yloxy, R_2 is methoxy, R_3 and R_4 are 1-methyleth-1-yl, and R_5 is $H_2NC(O)-[C(CH_3)_2]-CH_2-$.
8. A process according to claims 1 to 7 comprising the preparation of diastereomers of formula Ia.



(Ia),

wherein R_1 , R_2 , R_3 , R_4 and R_5 are as defined in claim 1, by

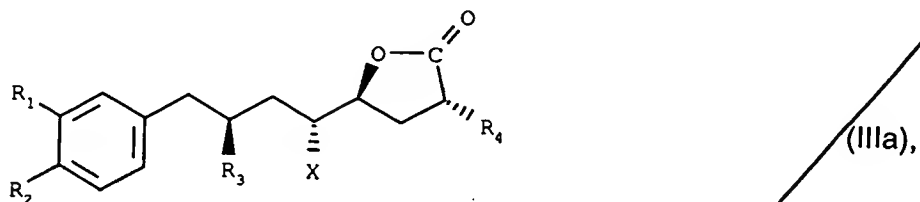
a) the reaction of a compound of formula IIa



(IIa),

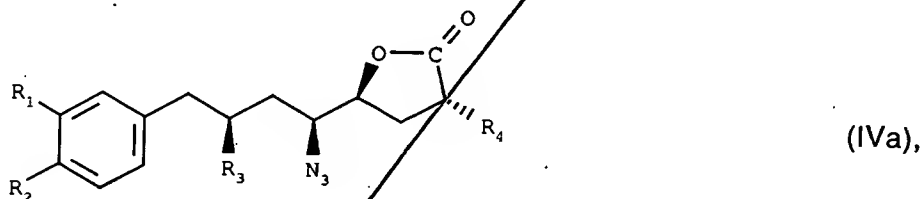
wherein

R_6 and R_7 are as defined in claim 1, with a halogenation agent in the presence of water and if necessary an acid to form a compound of formula IIIa,

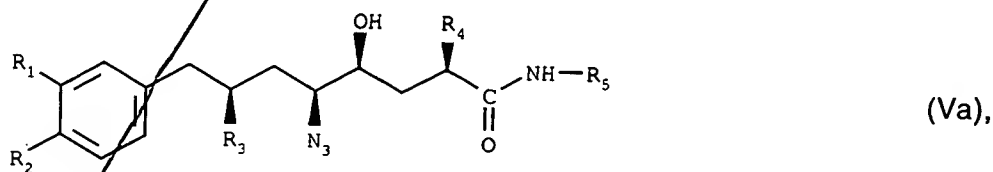


wherein X is Cl, Br or I,

b) reaction of the compound of formula IIIa with an azidation agent to form a compound of formula IVa,



c) then reaction of the compound of formula IVa with an amine of formula R_5-NH_2 to form a compound of formula Va,



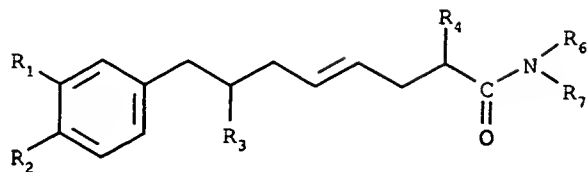
and

d) for preparation of a compound of formula I, reduction of the azide group of the compound of formula Va to form the amine group and then isolation of the compounds of formula Ia, if necessary with the addition of a salt-forming acid.

9. A process according to claim 8, comprising an embodiment wherein R_1 is $CH_3O-(CH_2)_3-O-$, R_2 is CH_3O- , R_3 and R_4 are in each case 1-methylethyl, and R_5 is $-CH_2-(CCH_3)_2-C(O)-NH_2$.

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10. Compounds of formula II

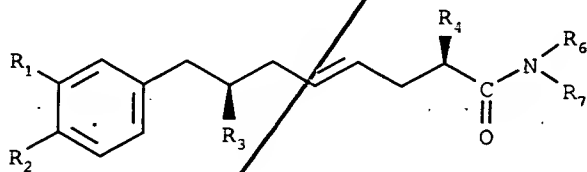


(II),

wherein R_1 , R_2 , R_3 , R_4 , R_6 and R_7 are as defined in claim 1.

11. Compounds according to claim 1, comprising an embodiment wherein R_1 is 1-methoxyprop-3-yloxy, R_2 is methoxy, R_3 and R_4 are isopropyl and R_6 is methyl or ethyl, R_7 is methyl, ethyl or methoxy, or R_6 and R_7 together are tetramethylene, pentamethylene or $-CH(CH_2C_6H_5)CH_2-O-C(O)-$.

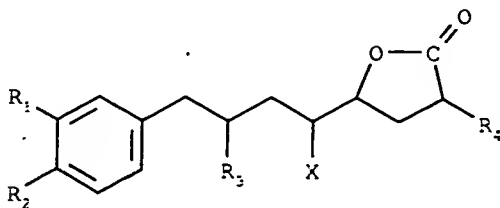
12. Compounds according to claims 10 and 11, comprising an embodiment that corresponds to formula IIa



(IIa)

wherein R_1 , R_2 , R_3 , R_4 , R_6 and R_7 are as defined in claim 1.

13. Compounds of formula III

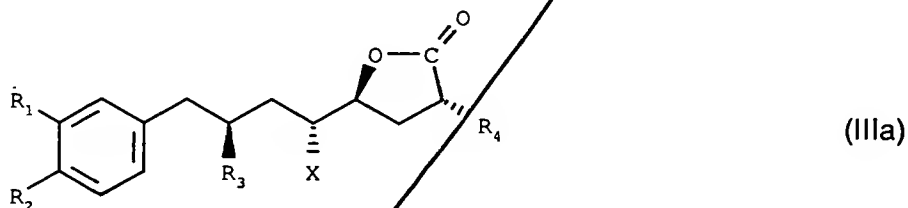


(III),

wherein R_1 , R_2 , R_3 , R_4 , and X are as defined in claim 1.

14. Compounds according to claim 13 comprising an embodiment wherein R_1 is 1-methoxyprop-3-yloxy, R_2 is methoxy, R_3 and R_4 are isopropyl and X is Cl, Br or I.

Sub
Q4 15. Compounds according to claim 14, comprising an embodiment that corresponds to formula IIIa



wherein R_1 , R_2 , R_3 , R_4 , and X are as defined in claim 1.

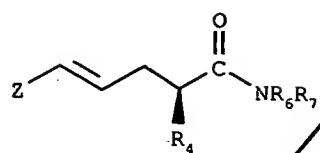
16. Compounds of formula VII in the form of their racemates or enantiomers



wherein R_4 , R_6 and R_7 are as defined in claim 1, and Z is Cl, Br or I.

Sub
Q5 17. Compounds according to claim 16, comprising an embodiment wherein R_4 is 1-methyl ethyl, Z is Cl, and R_6 is methyl or ethyl, R_7 is methyl, ethyl or methoxy, or R_6 and R_7 together are tetramethylene, pentamethylene or $-CH(CH_2C_6H_5)CH_2-O-$.

18. Compounds according to claim 16, comprising an embodiment that corresponds to formula VIIa



(VIIa),

wherein R_4 , R_6 and R_7 are as defined in claim 1, and Z is Cl, Br or I.

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